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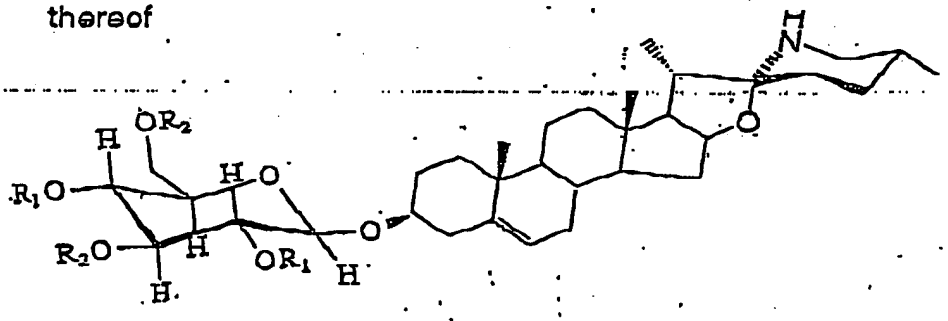
Claims

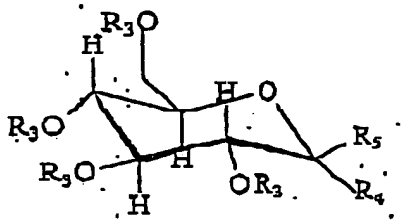
1. A glucose-solasodine conjugate of the general formula I or a derivative thereof



I

wherein each of R_1 and R_2 are the same or different and represents, is a benzoyl or a pivaloyl group.

2. A method for the preparation of the glucose-solasodine conjugate as defined in claim 1, comprising the reaction of solasodine with a glucopyranosyl donor of general formula II



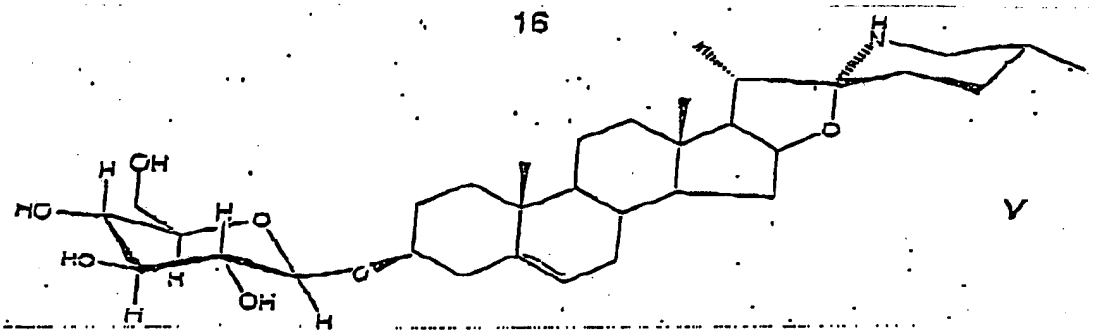
II

wherein each R_3 independently represents a benzoyl, acetyl or pivaloyl group,

wherein R_4 is halogen selected from Cl, Br or I and R_5 is hydrogen or

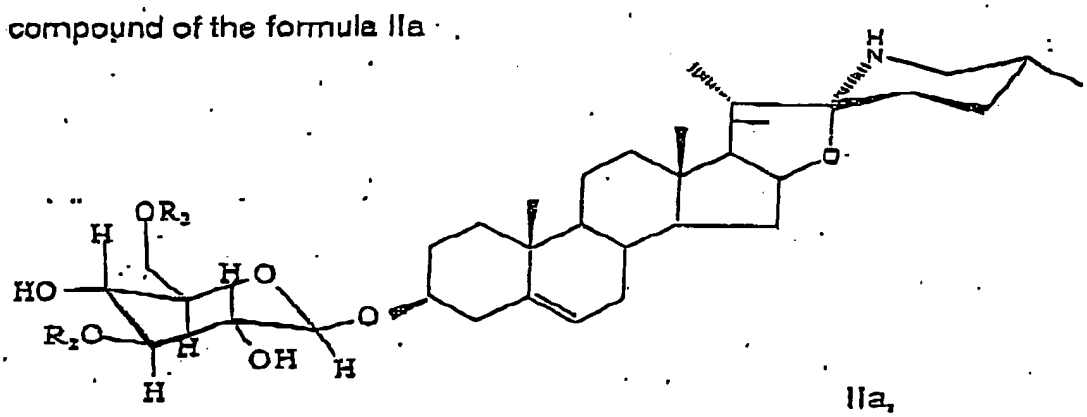
R_4 is hydrogen and R_5 is SEt or SPh,

followed by optionally de-protecting the obtained glycoside to yield a compound of the formula V



V

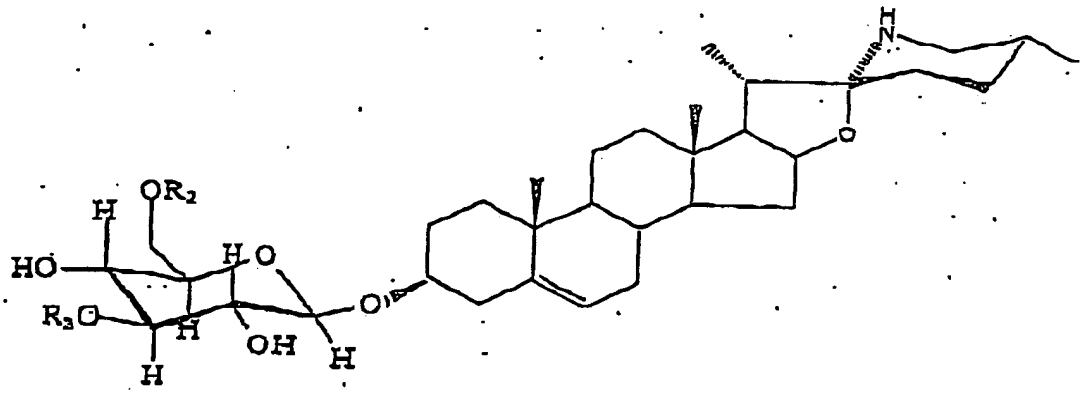
and reesterification of the most reactive hydroxyl groups (OH-3 and OH-6) to yield a compound of the formula IIa



IIa,

wherein R_2 is a group selected from pivaloyl or acetyl.

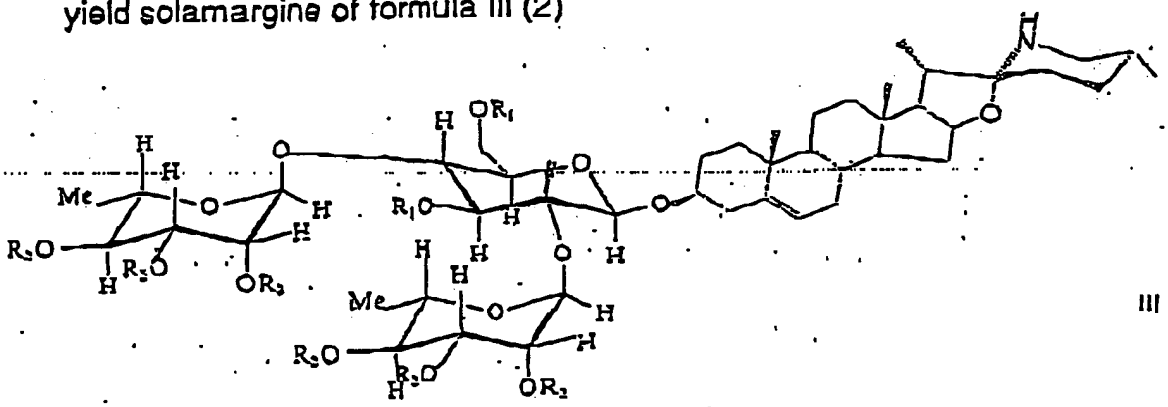
3. A method for the preparation of solamargine comprising the glycosylation of the diol of formula IIa



IIa

wherein R_2 is defined as in claim 1 with an α-L-rhamnopyranosyl donor

to yield protected solamargine of formula III (1) which is de-esterified to yield solamargine of formula III (2)



III

(1) R_1=Piv and R_2= Benzoyl or Acetyl

(2) R_1=R_2=H

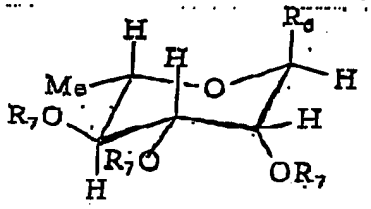
4. The method according to claim 2, wherein the D-glucosepyranosyl donor is tetra-O-benzoyl-α-D-glucopyranosyl bromide, tetra-O-acetyl-α-D-glucopyranosyl bromide or tetra-O-pivaloyl-α-D-glucopyranosyl bromide.

5. The method according to claim 2 or 4, wherein the glycosylation reaction is carried out in the presence of a promoter selected from silver trifluoromethane sulfonate (silver triflate), boron trifluoride diethyl etherate, trimethylsilyl triflate bromide, N-iodosuccinimide or dimethyl thiomethyl sulfonium triflate, silver trifluoromethyltriflate.

6. The method of claim 2, wherein the protected glycoside is deprotected in methanol-dichloromethane solution by treatment with sodium methoxide, followed by neutralization with solid CO_2 or mild acid ion-exchange resin.

7. The method of claim 2, wherein the most reactive hydroxyl groups (OH-3 and OH-6) are protected by reesterification with pivaloyl chloride in pyridine solution.

8. The method of claim 3, wherein the rhamnose donor is tri-O-benzoyl-α-L-rhamnopyranosyl bromide, tri-O-pivaloyl-α-L-rhamnopyranosyl trichloroacetimidate or a glycoside of the general formula IV



IV

wherein R_8 is Br, Cl, I, SEt or SPh and

R_7 is benzoyl, acetyl or pivaloyl.

9. The method of claim 3, wherein the protected solamargine is de-esterified by treatment with a base selected from sodium methoxide or sodium hydroxide in methanol-dichloromethane solution or a methanol-tetrahydrofuran-water mixture followed by neutralization with solid CO_2 or mild acid ion-exchange resin.